Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID: SSSPTA1600RXA

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
Web Page URLs for STN Seminar Schedule - N. America
NEWS
                 "Ask CAS" for self-help around the clock
NEWS
                 CA/CAPLUS - Russian Agency for Patents and Trademarks
NEWS
         FEB 25
                 (ROSPATENT) added to list of core patent offices covered
                 PATDPAFULL - New display fields provide for legal status
NEWS
         FEB 28
                 data from INPADOC
NEWS
     5
         FEB 28
                BABS - Current-awareness alerts (SDIs) available
NEWS
     6
        FEB 28
                MEDLINE/LMEDLINE reloaded
NEWS
     7
        MAR 02
                GBFULL: New full-text patent database on STN
NEWS 8
        MAR 03
                REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS 9 MAR 03
                MEDLINE file segment of TOXCENTER reloaded
NEWS 10 MAR 22
                KOREAPAT now updated monthly; patent information enhanced
NEWS 11 MAR 22
                Original IDE display format returns to REGISTRY/ZREGISTRY
                PATDPASPC - New patent database available
NEWS 12 MAR 22
                REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS 13 MAR 22
                EPFULL enhanced with additional patent information and new
NEWS 14 APR 04
                 fields
NEWS
      15 APR 04
                 EMBASE - Database reloaded and enhanced
NEWS
      16 APR 18
                New CAS Information Use Policies available online
                Patent searching, including current-awareness alerts (SDIs),
NEWS
     17 APR 25
                 based on application date in CA/CAplus and USPATFULL/USPAT2
                 may be affected by a change in filing date for U.S.
                 applications.
                 Improved searching of U.S. Patent Classifications for
      18 APR 28
NEWS
                 U.S. patent records in CA/CAplus
```

NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

 => fil reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

## FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 14:04:48 ON 28 APR 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 27 APR 2005 HIGHEST RN 849400-77-7 DICTIONARY FILE UPDATES: 27 APR 2005 HIGHEST RN 849400-77-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

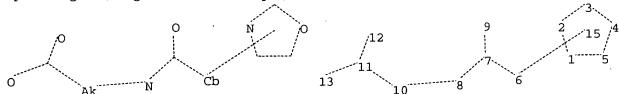
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> Uploading C:\Program Files\Stnexp\Queries\QUERIES\10743954.str

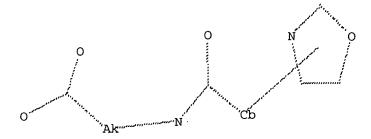


chain nodes : 6 7 8 9 10 11 12 13 ring nodes : 1 2 3 4 5 chain bonds : 11-12 6-7 7-8 7-9 8-10 10-11 ring bonds : 1-2 1-5 2-3 3-4 exact/norm bonds : 1-2 1-5 2-3 3-4 4-5 6-7 7-8 7-9 8-10 10-11 11-12 11-13 isolated ring systems : containing 1 :

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS

L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 SAMPLE SEARCH INITIATED 14:05:15 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 10758 TO ITERATE

9.3% PROCESSED 1000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 208945 TO 221375 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 full FULL SEARCH INITIATED 14:05:21 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 216529 TO ITERATE

100.0% PROCESSED 216529 ITERATIONS SEARCH TIME: 00.00.03

L3 11 SEA SSS FUL L1

=> s 13 and caplus/lc 45717647 CAPLUS/LC

L4 9 L3 AND CAPLUS/LC

=> s 13 not 14

L5 2 L3 NOT L4

=> d 15 1-2

0 ANSWERS

11 ANSWERS

ANSWER 1 OF 2 REGISTRY COPYRIGHT 2005 ACS on STN
438018-46-3 REGISTRY
ED Entered STN: 10 Jul 2002
Glycine, N-[4-(5-phenyl-2-oxazolyl)benzoyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
HF C18 Hi4 N2 04
SC Chemical Library
LC STN Files: CHEMCATS

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT \*\*

L5 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2005 ACS ON STN
RN 300395-44-2 REGISTRY
ED Entered STN: 30 Oct 2000
CN Glycine, N-[4-(4,5-dihydro-5-oxo-2-oxazolyl)benzoyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORDMF C12 H10 N2 O5
Chemical Library
LC STN Files: CHEMCATS

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

=> fil chemcats
COST IN U.S. DOLLARS

SINCE FILE ENTRY

TOTAL SESSION

FULL ESTIMATED COST

171.33 171.54

FILE 'CHEMCATS' ENTERED AT 14:07:30 ON 28 APR 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 American Chemical Society (ACS)

FILE LAST UPDATED 23 APRIL 2005 (20050423/UP)

For details on recent updates in CHEMCATS, enter NEWS FILE at an arrow prompt. For the list of suppliers currently in the file, enter HELP SPA, HELP SPBC, HELP SPDH, HELP SPIN, HELP SPOP, and HELP SPQZ. For the list of current catalogs, enter HELP CTA, HELP CTBC, HELP CTDH, HELP CTIN, HELP CTOP, and HELP CTQZ.

This database is provided on an "as is" basis. Please consult the suppliers for current information regarding pricing, regional availability, available quantities, purities, etc. THERE ARE NO WARRANTIES OF ANY KIND, EITHER EXPRESSED OR IMPLIED. ACS is not liable for any loss of profit, goodwill or any other damages arising out of the use of this database.

CHEMCATS now contains more than 8 million records. See HELP CONTENT and NEWS FILE for details.

=> s 15 L6 · 2 L5

=> d 16 1-2

L6 ANSWER 1 OF 2
Accession No.
Catalog Name
Publication Date
Order Number
Chemical Name
CAS Registry No.
Supplementary Term
Structure

CHEMCATS COPYRIGHT 2005 ACS on STN
(AN): 2003:124577 CHEMCATS
(CO): Abbinter Screening Library
(DD): 1 Jan 2004
(ON): 175500-1281
(RN): 438018-46-3
(ST): CHEMICAL LIBRARY
: :

L6 ANSWER 2 OF 2
Accession No.
Catalog Name
Publication Date
Order Number
CAS Registry No.
CAS Registry No.
Supplementary Term
Structure

CHEMICAIS COPYRIGHT 2005 ACS on STN
(AN): 2000:988451 CHEMICATS
(CO): 46terocyclic Compounds Catalog (milligram quantities)
(CO): 15 Har 2005
(CN): 36terocyclic Compounds Catalog (milligram quantities)
(CN): 36terocyclic Compounds Catalog (milligram quantities)
(CN): 61ycine, N-[4-(4,5-dihydro-5-oxo-2-oxazolyl)benzoyl](SN): 300395-44-2
(ST): CHEMICAL LIBRARY

=> fil caplus
COST IN U.S. DOLLARS

SINCE FILE ENTRY

TOTAL SESSION

FULL ESTIMATED COST

4.60 176.14

FILE 'CAPLUS' ENTERED AT 14:08:05 ON 28 APR 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 28 Apr 2005 VOL 142 ISS 18 FILE LAST UPDATED: 27 Apr 2005 (20050427/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 14:04:39 ON 28 APR 2005)

FILE 'REGISTRY' ENTERED AT 14:04:48 ON 28 APR 2005

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 11 S L1 FULL

L4 9 S L3 AND CAPLUS/LC

L5 2 S L3 NOT L4

FILE 'CHEMCATS' ENTERED AT 14:07:30 ON 28 APR 2005

L6 2 S L5

FILE 'CAPLUS' ENTERED AT 14:08:05 ON 28 APR 2005

=> s 14

L7 5 L4

=> d ibib abs hitstr 1-5

14:130622/ Preparation of imidazolidin-2-one and cxazolidin-2-one derivatives as glucagon receptor antagonists/inverse

Agonists Rurukulasuriya, Ravi; Link, James T.; Patel, Jyoti R.; Sorensen, Bryan K. INVENTOR (S):

PATENT ASSIGNEE(S): U.S. Pat. Appl. Publ., 24 pp. CODEN: USXXCO

DOCUMENT TYPE: LANGUAGE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE		DATE
US 2004209928	A1	20041021		20031223
PRIORITY APPLN. INFO.:			US 2002-437132P P	20021230
OTHER SOURCE(S):	MARPAT	141:366227		
C1				

Compds. of formula (I) or pharmaceutically suitable salts, esters or prodrugs thereof, [wherein A = COZH, tetrazole; B = H, F, OH, alkowy, NRaRh (wherein Ra, Rb = H, alkyl, alkylcarbonyl, alkylsulfonyl alkowyalkyl, cycloalkyl, cycloalkylcarbonyl, cycloalkylalkyl, beterocyclyl, heterocyclylalkyl, heterocyclylicarbonyl, heterocyclesulfonyl); D = aryl, heterocyclylalkyl, heterocyclylicarbonyl, heterocyclesulfonyl); D = cRCP, N (wherein Rc = H, alkyl, alkowy, alkowyalkyl, cycloalkyl, cycloalkyl, cycloalkyl, ox, cycloalkylory, cycloalkyl, heterocyclyl, heterocyclyl, heterocyclyl, cRCP, cycloalkyl, ox, cycloalkyl, cycloalkyl, cycloalkyl, v = C(RGP, N (wherein Rc = H, alkyl, alkowy, alkowyalkyl); V = C(RSP, C(RRP), C(RP), arylalkyl, arylalkyl, arylalkyl, arylalkyl, heterocyclylalkyl, heterocyclylalkyl, heterocyclylalkyl, heterocyclylalkyl, heterocyclylalkyl, heterocyclylalkyl, heterocyclylalkyl, heterocyclylalkyl, cycloalkylalkyl, heterocyclylalkyl, cycloalkylalkyl, heterocyclylalkyl, heterocyclylalkyl, cycloalkylalkyl, heterocyclylalkyl, he

THE THF at ambient temperature for 12 h to give 
3-[4-[1-[N-(4-tert-butylcyclohexyl]-N'(4-trifluoromethoxyphenyl)ureido]-2-(tert-butyldimethylsilanyloxy)ethyl]be

ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

780763-70-4 CAPLUS
B-Alanine, N-[4-[(2Z)-3-[4-(1,1-dimethylethyl) cyclohexyl]-2-[(4-phenoxyphenyl)imino]-4-oxazolidinyl]benzoyl]- (SCI) (CA INDEX NAME)

Double bond geometry as shown.

780763-71-5 CAPLUS  $\begin{array}{ll} \beta - Alanine, & \text{N-}[4-[(2Z)-2-([1,1'-biphenyl]-4-ylimino)-3-[4-(1,1-dimethylethyl) cyclohexyl]-4-oxazolidinyl] benzoyl]- & (CA) & (CA)$ (CA INDEX NAME)

17 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
nzoylamino|propionic acid Et ester (II). Desilylation of II with Bu4NF in
HF at 0° for 30 min gave 3-[4-1-[N-4-tert-Butylcyclohexyl)-N'-(4trifluoromethoxypheny|lureido]-2-bydroxyethyl|benzoylamino|propionic acid
Et ester which was cyclized by treatenent with polymer supported
tripheny|phosphine (0.146 g, 0.44 mmol) followed by di-Et
azodicarboxylate, sapon. with NaOH in aq. MeOH, and acidification with 1 N
aq. ECl to give N-[4-[3-(4-tert-butylcyclohexyl)-2-oxo-1-[4(trifluoromethoxypheny|luindazolidin-4-yl]benzoyl]-β-alanine. The
compds. I were found to inhibit glucagon-stimulated cAMF prodn. at a
conco. of 20 pH a range of about 50 to .apprx.1004.

11 780763-68-0P, N-[4-{(22)-3-(4-tert-Butylcyclohexyl)-2-[4(trifluoromethoxyphenyl]inino]-1,3-oxazolidin-4-yl]benzoyl]-β-alanine
780763-70-4F, N-[4-{(22)-3-(4-tert-Butylcyclohexyl)-2-(4phenoxyphenyl)-1,3-oxazolidin-4-yl]benzoyl]-β-alanine
780763-71-5F, N-[4-{(22)-3-(4-tert-Butylcyclohexyl)-2-(4phenoxyphenyl)-1,3-oxazolidin-4-yl]benzoyl]-β-alanine
RE: PAC (Pharmacological activity): SYN (Synthetic preparation): THU
(Therapeutic use): Biol. (Biological study): PREP (Preparation): USES
(preparation of imidazolidin-2-one and oxazolidin-2-one derivs. as
glucagon
receptor antagonists/inverse agonists for treating type II diabetes or
symptoms related to type 1 or 2 diabetes)

agon receptor antagonists/inverse agonists for treating type II diabetes or symptoms related to type 1 or 2 diabetes)
780763-68-0 CAPLUS
β-Alanine, N-[4-{(22)-3-(4-(1,1-dimethylethyl)cyclohexyl]-2-[{4-(trifluoromethoxy)phenyl]imino}-4-oxazolidinyl]benzoyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

780763-69-1 CAPLUS B-Alanine, N-[4-[(2z)-2-[(4-bromophenyl)imino]-3-[4-(1,1-dimethylethyl)cyclohexyl]-4-oxazolidinyl]benzoyl]- (9Cl) (CA INDEX NAME)

L7 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:182874 CAPLUS
1002URENT NUMBER: 100:235742 CAPLUS
11TLE: Freeparation of quinazolinones as inosine
S'-monophosphate dehydrogenase (IMPLM) inhibitors.
Haughan, Alan Findlay; Buckley, George Martin: Dyke,
Hazel Joan: Hannah, Duncan Robert; Richard, Marianna
Dilani: Sharpe, Andrew: Williams, Sophie Caroline
Celltech R & D Limited, UK
PCT Int. Appl., 81 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

DOCUMENT TYPE: Patent English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. DATE KIND WO 2004018462

W: AE, AG, AL,
CO, CR, CU,
GM, HR, HU,
LS, LT, LU,
FG, PH, PL,
TR, TT, TZ,
RW: GH, GM, CZ,
MD,
FI, FR, GB,
FF, BJ, CF,
PRIORITY APPLN. INFO:: A1 WO 2003-GB3600 20040304 WO 2003-GB3600 20030818
, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CH, DK, BH, DZ, EC, EB, ES, FI, GB, GD, GE, GH, IN, IS, JP, KK, KG, KP, KR, KZ, LC, LK, LR, MD, HG, MK, MS, MY, MX, MZ, MI, NO, NZ, CH, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TM, US, UZ, CY, VN, YU, ZA, ZM, ZY, MZ, MZ, SD, SL, SZ, TZ, UG, ZM, ZY, AM, AZ, BY, MZ, BB, BG, CH, CY, CZ, DE, DK, EE, ES, IE, IT, LU, HC, NL, PT, RO, SE, SI, SK, TR, CH, GA, GN, GG, GF, ML, MR, ME, SN, TD, GB 2002-19638 A 20020223 GB 2003-12773 A 20030604 20040304 20030818 A1 AM, AT, C2, DE, 1D, IL, LV, MA, PT, RO, UA, UG, LS, MW, RU, TJ, GR, HU, CG, CI,

OTHER SOURCE(S): MARPAT 140:235742

Title compds. [1: X = 0, 5: Rl = aliphatic, cycloaliph., cycloalkylalkyl: R2 = (substituted) heteroaryl, cyano: R3 = (Alkl)aLl(Alk2)aR6: a, a, p, q = 0, 1: Alkl-Alk4 = (substituted) aliphatic, heteroaliph. chain: L1, L2 =

linker atom or group; R6 = H, (substituted) cycloaliph., heterocycloaliph., aryl, heteroaryl; R4 = (Alk3)pL2(Alk4)qR7; R7 = H, halo, cyano, (substituted) cycloaliph. heterocycloaliph., aryl, heteroaryl; R5 = H, (substituted) aliphatyl; and the salts, solvates, hydrates, tautomers, isomers or N-owides thereof], were prepared Thus, 2-amino-4-mathoxy-N-(2-morpholin-4-ylethyl)-5-oxazol-5-ylbenzamide paration

paration given) was refluxed 6 h with MgSO4 and p-TsOH in acetone to give 164 7-methoxy-2,2-dimethyl-3-(2-morpholin-4-ylethyl)-6-oxazol-5-yl-2,3-dihydro-

ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 1H-quinazolin-4-one. I inhibited IMPDH with IC50s 5  $\mu$ H. 667939-89-1P L7

ΙT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

2

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

REFERENCE COUNT:

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 19

(Continued)

ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS ON STN SSION NUMBER: 2003:134480 CAPLUS MENT NUMBER: 138:369309

DOCUMENT NUMBER:

Multifunctional coupling agents: Synthesis and model TITLE:

Multifunctional coupling agents: Synthesis and model resctions
Jakisch, L.; Komber, H.; Bohne, F.
Institute of Polymer Research Dresden e.V., Dresden,
D-0169, Germany
Journal of Polymer Science, Part A: Polymer Chemistry
(2003), 41(5), 655-667
CODEM: JPACEC; ISSN: 0887-624X
John Wiley & Sons, Inc.
Journal AUTHOR (S): CORPORATE SOURCE:

SOURCE:

PUBLISHER: DOCUMENT TYPE:

John Wiley & Sons, Inc.

JOURNAT TYPE: Journal

JONGES: English

New multifunctional coupling agents with one 2-oxazoline group, one

New multifunctional coupling agents with one 2-oxazoline group, one

neans of model reactions that under the conditions of reactive extrusion,

the 2-oxazoline group and the oxazinone group reacted selectively with

carboxylic groups and amino groups, resp. The allyl ether group remained

unaffected under the reaction conditions chosen. As a model reaction, the

conversion of the coupling agents with II-aminoundecancic acid resulted in

the formation of an allyloxy-functionalized poly(ester amide). The

reaction could be performed stepwise, in the course of which the reaction

of the amino group proceeded at 110' in solution, whereas the reaction

of the arboxylic group was performed in the melt at 220'.

Furthermore, the utilization of the coupling agents for the preparation of

telechelic poly(propylene glycol) with one oxazoline group and one allyl

ether group on each chain end was described.

\$22616-67-78

RI: PRP (Properties); RCT (Reactant). CMM (Amino)

PALE PR (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and model reaction of multifunctional coupling agents) 522616-67-7 CAPLUS

Undecanoic acid, 11-[[4-(4,5-dihydro-2-oxazolyl)-2-[[4-(2-propenyloxy)benzoyl]amino]benzoyl]amino]- (9CI) (CA INDEX NAME)

52616-68-8P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and model reaction of multifunctional coupling agents)
52616-68-8 CAPLUS
Undecancic acid, 11-[[4-(4,5-dihydro-2-oxazoly1)-2-[[4-(2-propenyloxy)benzoyl]amino]benzoyl]amino]-, homopolymer (9CI) (CA INDEX NAME)

CM. 1

CRN 522616-67-7 CMF C31 H39 N3 O6

L7 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:34566 CAPLUS
DOCUMENT NUMBER: 137:7886

Efficient and General Synthesis of
S-(Alkoxycarbonyl)methylene]-3-oxazolines by
Falladium-Catalyzed Oxidative Carbonylation of
Prop-2-ynylamides
Bacchi, Alessia; Costa, Mirco; Gabriele, Bartolo;
Pelizzi, Giancarlo; Salerno, Giuseppe
Dipartimento di Chimica Generale Analitica e Chimica
Finica, Universita di Parma, Parma, 3100, Italy
Journal of Organic Chemistry (2002), 67(13), 4450-4457
CODEN: JOCCEM; ISSN: 0022-3263
American Chemical Society
JOURNIT TYPE: Journal
LANGUAGE;
AB A variety of prop-2-ynylamides have been carbonylated under oxidative
conditions to give oxazolines, oxazolines with chelating groups, and
hisoxazolines bearing an (alkoxycarbonyl)methylene chain at the 5 position
in good yields. The cyclization-alkoxycarbonylation process was carried
out in alc. media at 50-70 and under 24 bar pressure of 31 carbon
monoxide/air in the presence of catalytic ants. of 104 Pd/C or Pd/Z in
conjunction with KI. Cyclization occurred by anti attack of an oxygen
function on the palladium-coordinated triple bond, followed by
stereospecific alkoxycarbonylation, strictly resulting in E-stereochem.
The structures of representative oxazolines and bisoxazolines have been
determined by X-ray diffraction anal.

11 440365-24-2 CAPLUS

RN 440365-24-2 CAPLUS

RN 440365-24-2 CAPLUS

CN 2-Butenedioic acid, 2-[1-[(4-(5E)-4,5-dihydro-5-(2-methoxy-2oxocthylidene)-4,4-dimethyl-2-oxazolyl)benzoyl]amino]-1-methylethyl]-,
dimethyl ester, (22)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT:

THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:612686 CAPLUS
DOCUMENT NUMBER: 113:212686
FPEPTIde analogs as human immunodeficiency virus (HIV)
protease inhibitors
Hanko, Rudolf H., Scangos, George A., Yoo-Warren,
Heeja; Ramabhadran, Triprayar V., Paessens, Arnold;
Henning, Rolf; Tamburini, Paul Perry; Hoppe, Dieter;
Hansen, Juttar Rabe, Klaus
PATENT 'ASSIGNEE(S): Holecular Therapeutics, Inc., USA
EUL. Pat. Appl., 73 pp.
CODEN: EPKKDV
PATENT INFORMATION:
English
FAMILY ACC. NUM. COUNT: 1
FAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 361341	A2	19900404	EP 1989-117616	19890923
EP 361341	A3	19910703		
R: AT, BE, C	H. DE. ES	. FR. GB.	GR, IT, LI, LU, NL, SE	
FI 8904541	A	19900329	FI 1989-4541	19890926
AU 8942308	A1	19900816	AU 1989-42308	19890926
AU 633017	B2`	19930121		
DK 8904760	A	19900329	DK 1989-4760	19890927
NO 8903834	A	19900329	NO 1989-3834	19890927
ZA 8907338	Ä	19900725	ZA 1989-7338	19890927
JP 02191243	A2	19900727	JP 1989-253683	19890928
RIORITY APPLN. INFO.:			US 1988-250472	A 19880928
			US 1989-386194	A 19890801

PRIORITY APPIN. INFO.: US 1988-250472 A 19880928

OTHER SOURCE(S): MARPAT 113:212686

GI For diagram(s), see printed CA 1esue.

AB AlkZnYmA2 (A1 = H, RICO; R1 = ORZ, NRZR3, CRZR3R4; R2, R3, R4 = (substituted) aliphatyl, aryl; k, n = 0, 1, k = 0 when Z = H; n = 0 when Y = H; Z = H, Ser, Thr, RICO; Y = H, R5CO; R5 = R1, HNCHR9CO; R9 = (substituted) aliphatyl; Az = E4E2GEIX, etc; E4 = H, A5n, RICO; E2 = HNCH(CHZR6)CH(GH)(CH2, HNCH(CHZR6)P(GH)(O), stc.; O = 4-7-membered (hetero)cylylene; E1 = CO; X = H, R1, HNCHR7R1O; R6, R7 = (substituted) aliphatyl, aryl; R1O = H, COR1, COMHCHR9COR1], were prepared Thus, title compound 1, prepared by solution phase methods, had an ICSO of 8 µM for inhibition of HIV protease.

IT 130372-03-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); BIOL (Biological study, unclassified); SFN (Synthetic preparation); BIOL (Biological study; PREP (Preparation)

(preparation of, as HIV protease inhibitor)

RN 130372-03-1 CAPUS

CN 3-Oxazolidinecarboxylic acid, 2,2-dimethyl-5-[2-[[[2-methyl-1-[[phenylmethcyl]: -, 1,1-dimethylethyl ester, [45-[44,56[15\*,25\*(IR\*,2R\*]]]] - (GCI NDEX NAME)

Absolute stereochemistry.

L7 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

=> log y COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	26.50	202.64
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.65	-3.65

STN INTERNATIONAL LOGOFF AT 14:10:33 ON 28 APR 2005

ANSWER 2 OF 4 MARPAT COPYRIGHT 2005 ACS on STN L9

ACCESSION NUMBER:

136:134676 MARPAT

TITLE:

Preparation of cyclic amine phenyl  $\beta 3$  adrenergic

receptor agonists for treatment of metabolic disorders

related to insulin resistance or hyperglycemia

Hu, Baihua; Sum, Fuk-Wah; Malamas, Michael Sotirios

PATENT ASSIGNEE(S):

American Home Products Corporation, USA

INVENTOR(S): SOURCE:

PCT Int. Appl., 235 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO. DATE
WO 2002006232		WO 2001-US22387 20010716
W: AE, AG,	AL, AM, AT, AU,	AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR,	CU, CZ, DE, DK,	DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR,	HU, ID, IL, IN,	IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT,	LU, LV, MA, MD,	MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
RO, RU,	SD, SE, SG, SI,	SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
VN, YU,	ZA, ZW, AM, AZ,	BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM,	KE, LS, MW, MZ,	SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK,	ES, FI, FR, GB,	GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF,	CG, CI, CM, GA,	GN, GW, ML, MR, NE, SN, TD, TG
US 2002028835	A1 20020307	US 2001-903754 20010712
US 6525202	B2 20030225	
CA 2416245	AA 20020124	CA 2001-2416245 20010716
EP 1301482	A1 20030416	EP 2001-984234 20010716
R: AT, BE,	CH, DE, DK, ES,	FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
		MK, CY, AL, TR
BR 2001012522	A 20030624	BR 2001-12522 20010716
JP 2004504299	T2 20040212	JP 2002-512136 20010716
US 2003144326	A1 20030731	US 2002-330576 20021227
PRIORITY APPLN. INFO	·.:	US 2000-218627P 20000717
		US 2001-903754 20010712
	,	WO 2001-US22387 20010716
GI `		

Title compds. I [wherein A = (hetero)aryl or heterocyclyl; X = OCH2, SCH2, AB or a bond; T1 = (CH2)m; T2 = (CH2)n; m = 1-3; n = 1-3; T = a bond,

(un) substituted alkyl or alkenyl, alkynyl, alkylthio, alkylamino, alkoxy(alkyl), alkylthioalkyl, acyl, or alkenylcarbonyl; R1, R2, and R3 = independently H, (cyclo)alkyl, OH, halo, CF3, alkoxy, benzyloxy, allyloxy, propargyloxy, acyloxy, CN, NO2, NH2, CONH2, (di)alkylamino, formamido, ureido, acylamino, alkylsulfonylamino, arylsulfonylamino, dialkyloxyphosphorylamino, dihydroxyphosphorylamino, alkoxycarbonyl, or (un) substituted aryl; R4 = H, alkyl, halo, OH, alkoxy, alkylthio, (alkyl)amino, carboxy, acyl, arylcarbonyl, alkoxycarbonyl, CONH2, alkylaminocarbonyl, alkylsulfonyl, or arylsulfonylamino; R5 = (un) substituted (di) oxoimidazolidinyl, (di) oxooxazolidinyl, (di)oxothiazolidinyl, dioxooxadiazolidinyl, tetrazolyl, oxopyrrolinyl, alkoxycarbonyl, aminocarbonyl, acyl, ureido, etc.; or a pharmaceutically acceptable salt thereof] were prepared by standard and combinatorial synthetic methods as β3 adrenergic receptor agonists. For example, acetic acid was added to a mixture of N-[5-[(1R)-2-amino-1-hydroxyethyl]-2hydroxyphenyl] methanesulfonamide (preparation given), 2-[4-(4-oxo-1piperidinyl)benzyl]-1,2,4-oxadiazolidine-3,5-dione, and DMF. Sodium triacetoxyborohydride was added and the mixture stirred at room temperature

h to give (R)-I (71%). The latter bound to the  $\beta$ 3 adrenergic receptor with EC50 of 20 µM, exhibited a maximal response activity equivalent to isoproterenol, and increased thermogenesis in β3 transgenic mice by 30  $\pm$  8% compared to an increase of 16  $\pm$  4% in  $\beta$ 3 knockout mice. Thus, I are useful in treating or inhibiting metabolic disorders related to insulin resistance or hyperglycemia (typically associated with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenetic inflammation, glaucoma, ocular hypertension, frequent urination, and are particularly useful in the treatment or inhibition II diabetes.

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

## ANSWER 3 OF THE WARRANT COPPORTION MOONS ARE ON SHIP

ACCESSION NUMBER:

135:288773 MARPAT

TITLE:

Preparation of Oxa(thia)zolidine derivative as

anti-inflammatory agents

INVENTOR(S):

Takagi, Masae; Ishimitsu, Keiichi; Nishibe, Tadayuki

PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

```
PATENT NO.
                KIND
                      DATE
                                     APPLICATION NO.
                                                      DATE
                                     ______
               WO 2001-JP2481
                                                      20010327
       AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
       CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
       HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
       LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
       SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
       YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
   RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
       DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
       BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 2001044566
                      20011008
                                     AU 2001-44566
                 A5
                                                      20010327
EP 1277743
                      20030122
                                     EP 2001-917503
                 A1
                                                      20010327
       AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2002080368
                 A2
                      20020319
                                     JP 2001-184538
                                                      20010619
US 2003199479
                 Α1
                      20031023
                                     US 2002-240075
                                                      20020925
                 B2
HG 67 372700
                      20040713
```

US 2004220244 20041104 US 2004-853829 20040526 A1 PRIORITY APPLN. INFO.: JP 2000-88078 20000328 20000515 JP 2000-141395 JP 2000-182811 20000619 WO 2001-JP2481 20010327 US 2002-240075 20020925

GI

Title compds. [I; (X)=(S/(0)) R1 = CH3, H, CH2Cl, CH2F, CH3CH2, CH3(CH2)2; R2 - 4-CH3C6H4, 4-C1C6H4, C6H5, 2-thienyl, 2-naphthyl, 2-NO2C6H4, 4-CH3CO2C6H4, 4-CH3(CH2)3C6H4, 4-CH3OC6H4, 4-CF3C6H4, 4-CH3CH2C6H4, 2-pyridyl, 3-pyridyl; R3 = H, SO2N(CH3)2, SO2NHC6H4, CH3CH2ONHCO, 4-CH3O-3-NO2C6H3CH2, COCH3, COCH:CH2, CH2CH(C6H5)OCOCH3, CONHCH2CH3, CH3OCONHCS, 2-THPNHCO, 4-C1C6H4NHCO, 4-CF3OC6H4NHCO, cyclohexylaminocarbonyl, CH3OCONHCS; R = NH, NCN, NNO2, NCH3, NOCH2CH3, O, S, cyclohexylaminocarbonylimino, 4-CF30C6H4N, (C6H5N) (CH3)2NHCON] and stereoisomers are prepared as phospholipase A(2) inhibitors. Title compds. I or pharmacol. acceptable composites are used in medicinal compns. as the active ingredient of antiinflammatories. Thus, the title compound II was prepared and biol. tested.

REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT C

COPYRIGHT 2005 ACS on STN ANSWER 4 OF 4 MARPAT

ACCESSION NUMBER:

120:106987 MARPAT

TITLE:

Fungicidal oxazolidinones

INVENTOR(S):

Campbell, Carlton Lane; Gross, Charlene Marie;

Sternberg, Jeffrey Arthur; Sun, King Mo du Pont de Nemours, E. I., and Co., USA

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 131 pp.

DOCUMENT TYPE:

Patent

CODEN: PIXXD2

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO. DATE	
WO 9318016	A1 19930916	WO 1993-US2164 19930310	
W: AU, BR,	CA, FI, HU, JP,	MG, NO, NZ, PL, RO, RU, UA, US	
RW: AT, BE,	CH, DE, DK, ES,	FR, GB, GR, IE, IT, LU, MC, NL, PT, SI	Ξ,
BF, BJ,	CF, CG, CI, CM,	GA, GN, ML, MR, SN, TD, TG	
AU 9338006	A1 19931005	AU 1993-38006 19930310	
EP 630370	A1 19941228	EP 1993-907384 19930310	
R: DE, ES,	FR, GB, IT		
PRIORITY APPLN. INFO	.:	US 1992-849563 19920311	
		WO 1993-US2164 19930310	

GI

The title compds., 3-amino-4-oxazolidinones I (R1, R2 = alkyl, haloalkyl, etc.; R3 = Ph, pyridinyl, pyrimidinyl, etc.; R4 = hydrogen, Me, acetyl; W = oxygen, sulfur, amino) and their uses as agrochem. fungicides are claimed. An example compound, 5-(4-hydroxyphenyl)-5-methyl-3-(phenylamino)-2,4-oxazolidinedione (II) was prepared in several steps. Another example compound, 5-(2-fluoro-6-phenoxy-3-pyridyl)-5-methyl-3-(phenylamino)-2,4-oxazolidinedione (III) had fungicidal activity against Puccinia recondita, Phytophora infestans and Plasmopara viticola.